

(3-(4-fluorophenyl)(1H-indazol-5-yl))-N-(phenylmethoxy)carboxamide;

3-(4-fluorophenyl)-1H-indazole-5-carbohydroxamic acid;

N-((tert-butoxy)carbonylamino) (3-(4-fluorophenyl) (1H-indazol-5-yl))carboxamide;

N-amino(3-(4-fluorophenyl)(1 H-indazol-5-yl))carboxamide;

methyl-3-benzo(B)thiophen-2-yl-1H-indazole-5-carboxylate;

3-benzo(B)thiophen-2-yl-1H-indazole-5-carboxylic acid;

or a pharmaceutically acceptable salt thereof.

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REMARKS

Claims 5, 6, 10-20, 22-69 and 71-117 are presently pending, claims 22-69, 75-84, 86 and 87 having been withdrawn from consideration as being drawn to a non-elected group. Claims 5, 6, 10-20, 71-74 and 85 have been amended to recite particular embodiments of the invention. New claims 88-117 have been added, which read on elected group I. No new matter has been added. Claims 1-4, 7-9, 21 and 70 have been canceled without prejudice. Applicants fully reserve their right to prosecute the subject matter of any canceled claim in one or more continuation, continuation-in-part or divisional applications.

Applicants affirm the election made with traverse on April 23, 2002 to prosecute the invention of Group I, Claims 1-21, 70-74 and 85.

Claims 5, 6, 10-12, 14-20, 71-74 and 85 were merely objected to as being dependent upon a rejected base claim, but were found to be allowable if rewritten in independent form including all of the limitations of the base claim and any intervening claims. Amended claims 5, 6, 10-12, 14-20, 71-74 and 85 have been rewritten in independent form including all of the limitations of the base claim and any intervening claims. In addition, claim 73 has been amended to remove the extra period at the end of the sentence.

New claims 88-105 are dependent claims reciting compositions comprising a compound of the currently pending claims and a pharmaceutically acceptable carrier.

New claims 106-116 are dependent claims reciting specific compounds encompassed by the independent claim from which each depends, and new claim 117 is an independent claim reciting other specific compounds. All compounds recited in dependent claims 106-116 and independent claim 117 are found in the specification as filed and read on elected group I. Support for the amended and new claims can be found in Table 1, below.

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Table 1: Support for Amended and New Claims

Claim Number	Support
5	page 8, line 2; claim 5 as filed
6	page 8, line 2; claim 6 as filed
10	page 8, line 5; claim 10 as filed
11	page 8, line 5; claim 11 as filed
12	page 8, line 6; claim 12 as filed
13	page 8, line 7; claim 13 as filed
14	page 8, line 5; claim 14 as filed
15	page 8, lines 20-21; claim 15 as filed
16	page 8, lines 20-21; claim 16 as filed
17	page 8, lines 20-21; claim 17 as filed
18	page 9, lines 30-34; claim 18 as filed
19	page 9, line 18; claim 19 as filed
20	page 8, line 20 (R ₄ is heterocycle); page 11,
	line 10 (heterocycle includes heteroaryl);
	page 10, line 33 (heteroaryl includes
	imidazole); claim 20 as filed
71	page 9, lines 23-24; claim 71 as filed
72	page 9, line 29; claim 72 as filed
73	page 9, line 20-24; claim 73 as filed
74	page 9, lines 26-29; claim 74 as filed
85	page 9, lines 30-34; page 10, lines 1-3;
	claim 85 as filed
88-105	page 6, lines 29-32; claim 21 as filed
106	page 143, Example 159
107	page 81, Example 73; page 87, Example
	82; pages 100-101, Examples 102-103;
	page 133, Example 148; page 195,
	Example 218

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Claim Number	Support
108	page 78, Example 68; pages 84-87,
	Examples 76-81; pages 88-99, Examples
	83-101: page 102 Example 105: pages

Claim Number	Support
108	page 78, Example 68; pages 84-87,
	Examples 76-81; pages 88-99, Examples
	83-101; page 102, Example 105; pages
	103-105, Examples 107-109; page 107,
	Examples 111-112; pages 109-110,
	Examples 115-116; pages 133-137,
	Examples 148-151; pages 139-142,
	Examples 154-158; page 144, Example
	160; page 200, Example 223; page 208,
	Example 232; page 210, Example 234;
	pages 264-269, Examples 276-282; page
	273, Example 287; pages 276-281,
	Examples 290-295; page 285, Example
	300; pages 316-328, Examples 334-356;
	page 335, Example 362; page 361,
	Example 385; pages 372-375, Examples
	400-404; pages 376-378, Examples 406-
	407; page 380, Example 410; pages 393-
	395 , Examples 427-430
109	pages 43-49, Examples 13-20; pages 59-
	60, Examples 38-41; pages 61-75,
	Examples 43-62; pages 76-77, Examples
	65-67; page 106, Example 110
110	pages 75-76, Examples 63-64



Claim Number	Support
111	pages 38-39, Examples 5-8; page 42,
	Examples 11-12; page 80, Example 72;
	page 83, Example 75; pages 113-115,
	Examples 120-123; pages 116-117,
	Examples 125-126; pages 118-120,
·	Examples 128-131; pages 128-129,
	Examples 141-142; pages 190-191,
	Examples 212-214; pages 204-205,
·	Examples 227-228; page 209, Example
	233; page 210, Example 235; page 336,
	Example 363
112	pages 120-127, Examples 132-140; page
	130-132, Examples 143-147
113	pages 56-58, Examples 33-37; page 198,
	Example 221; page 261, Example 274;
	pages 269-270, Examples 283-284; page
	304, Example 322; pages 306-307,
	Examples 324-326; page 337, Example
	364; page 387, Example 421

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Claim Number	Support
114	page 79, Example 70; pages 111-113,
	Examples 117-119; page 116, Example
	124; pages 164-189, Examples 181-211;
	page 192, Example 215; page 195,
	Example 217; pages 213-216, Examples
	238-240; pages 218-260, Examples 242-
	273; page 263, Example 275; pages 274-
	275, Examples 288-289; pages 282-284,
	Examples 296-299; pages 286-303,
	Examples 301-321; pages 304-315,
	Examples 322-333; pages 329-334,
	Examples 357-361; page 338, Example
	365; page 346, Example 371; pages 350-
	360, Examples 373-384; pages 362-371,
	Examples 386-399; page 376, Example
	405; pages 378-379, Examples 408-409;
	pages 381-387, Examples 411-420; pages
	388-392, Examples 422-426
115	page 78, Example 69; pages 145-163,
	Examples 161-180; page 193, Example
	216; pages 196-197, Examples 219-220;
	page 199, Example 222; pages 201-203,
	Examples 224-226; pages 206-207,
	Examples 229-231; pages 211-212,
	Examples 236-237; page 217, Example
	241; pages 271-272, Examples 285-286;
	page 348, Example 372
116	page 80, Example 71

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Claim Number	Support
117	page 40, Example 10; page 61, Example
	42; page 83, Example 74; page 102,
	Example 104; page 103, Example 106;
	page 108, Examples 113-114; pages 138-
	139, Examples 152-153

I. The Rejections Under 35 U.S.C. § 102(a)

Claims 1-4, 7-9, 13, 21 and 70 have been rejected under 35 U.S.C. § 102(a) as being allegedly anticipated by U.S. Patent No. 5,985,867 to Rodgers et al. (the "'867 patent"); Boehm et al. (2000) Journal of Medicinal Chemistry 43:2664-2674 ("Boehm"); Kawakami et al. (2000) Organic Letters 2:413-415 ("Kawakami"); Patel et al. (1999) Bioorganic and Medicinal Chemistry Letters 9:3217-3220 ("Patel"); and U.K. Patent Publication No. 2,345,486 to Carter et al. ("Carter") for allegedly disclosing compounds embraced by the present claims.

Claims 1-4, 7-9, 21 and 70 have been canceled without prejudice. Thus, in view of their cancellation, it is believed that the rejection of claims 1-4, 7-9, 21 and 70 under 35 U.S.C. § 102(a) is moot, and should be withdrawn.

Claim 13 has been rewritten in independent form to include all of the limitations of claim 1. In particular, amended claim 13 recites compounds wherein R_2 is $-(CH_2)_bNR_5R_6$. None of the '867 patent, Boehm, Kawakami, Patel or Carter discloses a compound falling within the scope of amended claim 13.

The compounds disclosed in the '867 patent (formula I, column 3, lines 46-69) possess a seven-membered cyclic urea that is substituted with \underline{six} groups. The class of compounds encompassed by amended claim 13 allow for R_5 or R_6 to be optionally substituted with only <u>one to four</u> substituents. Thus, the '867 patent does not disclose every element of amended claim 13.

Patel discloses compounds that fall within the class disclosed in the '867 patent. Therefore, Patel does not anticipate amended claim 13 for the same reason that the '867 patent does not.

The compounds of Boehm do not have a - $(CH_2)_bNR_5R_6$ group and, accordingly, do not fall within the scope of amended claim 13.



The compounds of Kawakami (in particular, 5-nitro-3-(4-nitrophenyl)benzopyrazole at page 415, column 2), have a nitro group at R_2 and, accordingly, do not fall within the scope of amended claim 13.

The compounds of Carter lack an -A-R₁ group and, accordingly, are not within the scope of amended claim 13.

Thus, in view of the above discussion, it is believed that none of the '867 patent, Boehm, Kawakami, Patel and Carter discloses compounds that fall within the scope of amended claim 13. Therefore, the rejection of claim 13 under 35 U.S.C. § 102(a) has been overcome, and should be withdrawn.

II. The Rejections Under 35 U.S.C. § 102(b)

Claims 1-4, 7-9, 21 and 70 have been rejected under 35 U.S.C. § 102(b) as being allegedly anticipated by U.S. Patent No. 3,541,110 to Bell et al.; Vasilevsky et al. (1996) Chem Abstracts 125:114539; Andronati et al. (1995) Chem Abstracts 122:314528; Buck et al. (1994) Chem Abstracts 120:299030; Rickinger et al. (1992) Chem Abstracts 116:2355099; Grayshan et al. (1990) Chem Abstracts 112:216936; Fujimara et al. (1987) Chem Abstracts 107:198159; Wrzeciono et al. (1985) Chem Abstracts 103:123405; Jones et al. (1984) Chem Abstracts 100:51503; Pfoertner et al. (1982) Chem Abstracts 97:72295; Arya et al. (1978) Chem Abstracts 88:37692; Fujimara et al. (1976) Chem Abstracts 84:31053; Walser et al. (1975) Chem Abstracts 83:164108; and Horner et al. (1969) Chem Abstracts 70:77962.

Claims 1-4, 7-9, 21 and 70 have been canceled without prejudice. Thus, in view of their cancellation, it is believed that the rejection of claims 1-4, 7-9, 21 and 70 under 35 U.S.C. § 102(b) has been rendered moot.

III. The Rejections Under 35 U.S.C. § 103(a)

Claims 1-4, 7-9 and 21 have been rejected under 35 U.S.C. § 103(a) as being allegedly obvious over the '110 patent and the '867 patent, each taken alone.

As stated above, claims 1-4, 7-9 and 21 have been canceled without prejudice. Thus, in view of their cancellation, it is believed that the rejection of claims 1-4, 7-9 and 21 under 35 U.S.C. § 103(a) has been rendered moot.

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Applicants respectfully request that the present amendments be entered and the present remarks be made of record in the file history of the present application. An early allowance of the application is earnestly requested. The Examiner is invited to call the undersigned with any questions concerning the foregoing.

Applicants believe that the only fees due are those for the amendments, extension of time (3 months) and filing of the information disclosure statement, however, in the event any additional fee is required, please charge the required fee to Pennie & Edmonds LLP Deposit Account No. 16-1150.

Respectfully submitted,

matthey an. Susagna, by. No. 35,203

35,203

Date October 3, 2002

Anthony M. Insogna

(Reg. No.)

PENNIE & EDMONDS LLP 1155 Avenue of the Americas New York, New York 10036-2711 (212) 790-9090

Enclosures

EXHIBIT A

U.S. PATENT APPLICATION SERIAL NO. 09/910,950 MARKED-UP VERSION OF ALL AMENDED CLAIMS

5. (Amended) [The] \underline{A} compound [of claim 1 wherein A is -(CH₂)_bCH=CH(CH₂)_c-] having the structure:

or a pharmaceutically acceptable salt thereof, wherein:

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A is $-(CH_2)_bCH=CH(CH_2)_c-$:

 R_1 is aryl, heteroaryl or heterocycle fused to phenyl, each being optionally substituted with one to four substituents independently selected from R_3 :

 $\frac{R_2 \text{ is -R}_3, -R_4, -(CH_2)_bC(=O)R_5, -(CH_2)_bC(=O)OR_5, -(CH_2)_bC(=O)NR_5R_6,}{-(CH_2)_bC(=O)NR_5(CH_2)_cC(=O)R_6, -(CH_2)_bNR_5C(=O)R_6,}\\ -\frac{(CH_2)_bNR_5C(=O)NR_6R_7, -(CH_2)_bNR_5R_6, -(CH_2)_bOR_5, -(CH_2)_bSO_dR_5}{Or -(CH_2)_bSO_2NR_5R_6}$

a is 1, 2, 3, 4, 5 or 6;

b and c are the same or different and at each occurrence independently selected from 0, 1, 2, 3 or 4;

d is at each occurrence 0, 1 or 2;

R₃ is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(=O)OR₈, -C(=O)R₈, -C(O)NR₈R₉,
C(=O)NR₈OR₉, -SO₂NR₈R₉, -NR₈SO₂R₉, -CN, -NO₂, -NR₈R₉,
NR₈C(=O)R₉, -NR₈C(=O)(CH₂)_bOR₉, -NR₈C(=O)(CH₂)_bR₉, -O(CH₂)_bNR₈R₉, or heterocycle fused to phenyl;

- R₄ is alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, each being optionally substituted with one to four substituents independently selected from R₃, or R₄ is halogen or hydroxy;
- R_5 , R_6 and R_7 are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R_5 , R_6 and R_7 are optionally substituted with one to four substituents independently selected from R_3 ; and
- R₈ and R₉ are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R₈ and R₉ taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R₈, R₉, and R₈ and R₉ taken together to form a heterocycle are optionally substituted with one to four substituents independently selected from R₃.
- 6. (Amended) [The] \underline{A} compound [of claim 1 wherein A is $-(CH_2)_bC = C(CH_2)_c-$] having the structure:

$$R_2$$
 A
 R_1

A is $-(CH_2)_b C = C(CH_2)_c$:

 R_1 is aryl, heteroaryl or heterocycle fused to phenyl, each being optionally substituted with one to four substituents independently selected from R_3 :

 $\frac{R_2 \text{ is -R}_3, -R_4, -(CH_2)_b C(=O)R_5, -(CH_2)_b C(=O)OR_5, -(CH_2)_b C(=O)NR_5 R_6,}{-(CH_2)_b C(=O)NR_5 (CH_2)_c C(=O)R_6, -(CH_2)_b NR_5 C(=O)R_6,}\\ -(CH_2)_b NR_5 C(=O)NR_6 R_7, -(CH_2)_b NR_5 R_6, -(CH_2)_b OR_5, -(CH_2)_b SO_d R_5}\\ or -(CH_2)_b SO_2 NR_5 R_6.$

a is 1, 2, 3, 4, 5 or 6;

b and c are the same or different and at each occurrence independently selected from 0, 1, 2, 3 or 4;

d is at each occurrence 0, 1 or 2;

- R₃ is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(=O)OR₈, -C(=O)R₈, -C(O)NR₈R₉, -C(=O)NR₈OR₉, -SO₂NR₈R₉, -NR₈SO₂R₉, -CN, -NO₂, -NR₈R₉, -NR₈C(=O)(CH₂)_bOR₉, -NR₈C(=O)(CH₂)_bR₉, -O(CH₂)_bNR₈R₉, or heterocycle fused to phenyl;
- R₄ is alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, each being optionally substituted with one to four substituents independently selected from R₃, or R₄ is halogen or hydroxy;
- R₅, R₆ and R₇ are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R₅, R₆ and R₇ are optionally substituted with one to four substituents independently selected from R₃; and
- R₈ and R₉ are the same or different and at each occurrence independently

 hydrogen, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R₈

 and R₉ taken together with the atom or atoms to which they are

 bonded form a heterocycle, wherein each of R₈, R₉, and R₈ and R₉

 taken together to form a heterocycle are optionally substituted with

 one to four substituents independently selected from R₃.
- 10. (Amended) [The] A compound [of claim 1 wherein R_2 is -(CH₂)_bC(=O)R₅] having the structure:

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A is a direct bond, $-(CH_2)_a$ -, $-(CH_2)_bCH=CH(CH_2)_c$ -, or $-(CH_2)_bC=C(CH_2)_c$ -; R_1 is aryl, heteroaryl or heterocycle fused to phenyl, each being optionally substituted with one to four substituents independently selected from R_3 ;

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 R_2 is $-(CH_2)_{\lambda}C(=O)R_5$.

a is 1, 2, 3, 4, 5 or 6;

b and c are the same or different and at each occurrence independently selected from 0, 1, 2, 3 or 4;

d is at each occurrence 0, 1 or 2;

- R₃ is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(=O)OR₈, -C(=O)R₈, -C(O)NR₈R₉,
 C(=O)NR₈OR₉, -SO₂NR₈R₉, -NR₈SO₂R₉, -CN, -NO₂, -NR₈R₉,
 NR₈C(=O)R₉, -NR₈C(=O)(CH₂)_bOR₉, -NR₈C(=O)(CH₂)_bR₉,

 -O(CH₂)_bNR₈R₉, or heterocycle fused to phenyl;
- R₄ is alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, each being optionally substituted with one to four substituents independently selected from R₃, or R₄ is halogen or hydroxy;
- R_5 , R_6 and R_7 are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R_5 , R_6 and R_7 are optionally substituted with one to four substituents independently selected from R_3 ; and
 - R₈ and R₉ are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R₈ and R₉ taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R₈, R₉, and R₈ and R₉ taken together to form a heterocycle are optionally substituted with one to four substituents independently selected from R₃.

11. (Amended) [The] \underline{A} compound [of claim 1 wherein R_2 is $-(CH_2)_bC(=O)NR_5R_6$] having the structure:

or a pharmaceutically acceptable salt thereof, wherein:

A is a direct bond, $-(CH_2)_a$ -, $-(CH_2)_bCH=CH(CH_2)_c$ -, or $-(CH_2)_bC=C(CH_2)_c$ -; R_1 is aryl, heteroaryl or heterocycle fused to phenyl, each being optionally substituted with one to four substituents independently selected from R_3 :

 \underline{R}_2 is -(CH₂)_bC(=O)NR₅R₆.

a is 1, 2, 3, 4, 5 or 6;

b and c are the same or different and at each occurrence independently selected from 0, 1, 2, 3 or 4;

d is at each occurrence 0, 1 or 2;

- R₃ is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(=O)OR₈, -C(=O)R₈, -C(O)NR₈R₉, -C(=O)NR₈OR₉, -SO₂NR₈R₉, -NR₈SO₂R₉, -CN, -NO₂, -NR₈R₉, -NR₈C(=O)(CH₂)_bOR₉, -NR₈C(=O)(CH₂)_bR₉, -O(CH₂)_bNR₈R₉, or heterocycle fused to phenyl;
- R₄ is alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, each being optionally substituted with one to four substituents independently selected from R₃, or R₄ is halogen or hydroxy;
- R₅, R₆ and R₇ are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R₅, R₆ and R₇ are optionally substituted with one to four substituents independently selected from R₃; and

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- R₈ and R₉ are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R₈ and R₉ taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R₈, R₉, and R₈ and R₉ taken together to form a heterocycle are optionally substituted with one to four substituents independently selected from R₃.
- 12. (Amended) [The] \underline{A} compound [of claim 1 wherein R_2 is $-(CH_2)_bNR_5C(=O)R_6$] having the structure:

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or a pharmaceutically acceptable salt thereof, wherein:

A is a direct bond, $-(CH_2)_a$ -, $-(CH_2)_bCH=CH(CH_2)_c$ -, or $-(CH_2)_bC\equiv C(CH_2)_c$ -; R_1 is aryl, heteroaryl or heterocycle fused to phenyl, each being optionally substituted with one to four substituents independently selected from R_3 :

 R_2 is -(CH₂)_bNR₅C(=O)R₆;

a is 1, 2, 3, 4, 5 or 6;

b and c are the same or different and at each occurrence independently selected from 0, 1, 2, 3 or 4;

d is at each occurrence 0, 1 or 2;

R₃ is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(=O)OR₈, -C(=O)R₈, -C(O)NR₈R₉,
C(=O)NR₈OR₉, -SO₂NR₈R₉, -NR₈SO₂R₉, -CN, -NO₂, -NR₈R₉,
NR₈C(=O)R₉, -NR₈C(=O)(CH₂)_bOR₉, -NR₈C(=O)(CH₂)_bR₉, -O(CH₂)_bNR₈R₉, or heterocycle fused to phenyl;

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- R_4 is alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, each being optionally substituted with one to four substituents independently selected from R_3 , or R_4 is halogen or hydroxy;
- R₅, R₆ and R₇ are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R₅, R₆ and R₇ are optionally substituted with one to four substituents independently selected from R₃; and
- R₈ and R₉ are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R₈ and R₉ taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R₈, R₉, and R₈ and R₉ taken together to form a heterocycle are optionally substituted with one to four substituents independently selected from R₃.
- 13. (Amended) [The] \underline{A} compound [of claim 1 wherein R_2 is -(CH₂)_bNR₅R₆] <u>having</u> the structure:

A is a direct bond, $-(CH_2)_a$, $-(CH_2)_bCH=CH(CH_2)_c$, or $-(CH_2)_bC=C(CH_2)_c$. R_1 is aryl, heteroaryl or heterocycle fused to phenyl, each being optionally substituted with one to four substituents independently selected from R_3 :

 R_2 is -(CH₂)_bNR₅R₆

a is 1, 2, 3, 4, 5 or 6;

b and c are the same or different and at each occurrence independently selected from 0, 1, 2, 3 or 4;

d is at each occurrence 0, 1 or 2;

R₃ is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl,

heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, $-C(=O)OR_8$, $-C(=O)R_8$, $-C(O)NR_8R_9$, $-C(=O)NR_8OR_9$, $-SO_2NR_8R_9$, $-NR_8SO_2R_9$, -CN, $-NO_2$, $-NR_8R_9$, $-NR_8C(=O)(CH_2)_bOR_9$, $-NR_8C(=O)(CH_2)_bR_9$, $-O(CH_2)_bNR_8R_9$, or heterocycle fused to phenyl;

- R₄ is alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, each being optionally substituted with one to four substituents independently selected from R₃, or R₄ is halogen or hydroxy;
- R_5 , R_6 and R_7 are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R_5 , R_6 and R_7 are optionally substituted with one to four substituents independently selected from R_3 ; and
- R₈ and R₉ are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R₈ and R₉ taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R₈, R₉, and R₈ and R₉ taken together to form a heterocycle are optionally substituted with one to four substituents independently selected from R₃.
- 14. (Amended) [The] \underline{A} compound [of claim 1 wherein R_2 is R_4] having the structure:

or a pharmaceutically acceptable salt thereof, wherein:

A is a direct bond, $-(CH_2)_o$, $-(CH_2)_bCH=CH(CH_2)_c$, or $-(CH_2)_bC=C(CH_2)_c$; R_1 is aryl, heteroaryl or heterocycle fused to phenyl, each being optionally substituted with one to four substituents independently selected from R_3 ;

 R_2 is R_4 :

a is 1, 2, 3, 4, 5 or 6;

b and c are the same or different and at each occurrence independently selected from 0, 1, 2, 3 or 4;

d is at each occurrence 0, 1 or 2;

- R₃ is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(=O)OR₈, -C(=O)R₈, -C(O)NR₈R₉, -C(=O)NR₈R₉, -C(=O)NR₈R₉, -NR₈SO₂R₉, -CN, -NO₂, -NR₈R₉, -NR₈C(=O)R₉, -NR₈C(=O)(CH₂)_bOR₉, -NR₈C(=O)(CH₂)_bOR₉, -O(CH₂)_bNR₈R₉, or heterocycle fused to phenyl;
- R_4 is alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, each being optionally substituted with one to four substituents independently selected from R_3 , or R_4 is halogen or hydroxy;
- R_5 , R_6 and R_7 are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R_5 , R_6 and R_7 are optionally substituted with one to four substituents independently selected from R_3 ; and
- R₈ and R₉ are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R₈ and R₉ taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R₈, R₉, and R₈ and R₉ taken together to form a heterocycle are optionally substituted with one to four substituents independently selected from R₃.
- 15. (Amended) [The] \underline{A} compound [of claim 14 wherein R_4 is substituted alkyl] having the structure:

A is a direct bond, $-(CH_2)_a$, $-(CH_2)_bCH=CH(CH_2)_c$, or $-(CH_2)_bC=C(CH_2)_c$; R_1 is aryl, heteroaryl or heterocycle fused to phenyl, each being optionally substituted with one to four substituents independently selected from R_3 ;

 R_2 is R_4 ; a is 1, 2, 3, 4, 5 or 6;

b and c are the same or different and at each occurrence independently selected from 0, 1, 2, 3 or 4;

d is at each occurrence 0, 1 or 2;

R₃ is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(=O)OR₈, -C(=O)R₈, -C(O)NR₈R₉,
C(=O)NR₈OR₉, -SO₂NR₈R₉, -NR₈SO₂R₉, -CN, -NO₂, -NR₈R₉,
NR₈C(=O)R₉, -NR₈C(=O)(CH₂)_bOR₉, -NR₈C(=O)(CH₂)_bR₉,

-O(CH₂)_bNR₈R₉, or heterocycle fused to phenyl;

R₄ is substituted arylalkyl;

- R₅, R₆ and R₇ are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R₅, R₆ and R₇ are optionally substituted with one to four substituents independently selected from R₃; and
- R₈ and R₉ are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R₈ and R₉ taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R₈, R₉, and R₈ and R₉ taken together to form a heterocycle are optionally substituted with one to four substituents independently selected from R₃.
- 16. (Amended) [The] \underline{A} compound [of claim 14 wherein R_4 is substituted arylalkyl] having the structure:

A is a direct bond, $-(CH_2)_a$ -, $-(CH_2)_bCH=CH(CH_2)_c$ -, or $-(CH_2)_bC\equiv C(CH_2)_c$ -; R_1 is aryl, heteroaryl or heterocycle fused to phenyl, each being optionally substituted with one to four substituents independently selected from R_3 :

 R_2 is R_4 .

a is 1, 2, 3, 4, 5 or 6;

b and c are the same or different and at each occurrence independently selected from 0, 1, 2, 3 or 4;

d is at each occurrence 0, 1 or 2;

R₃ is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(=O)OR₈, -C(=O)R₈, -C(O)NR₈R₉, -C(=O)NR₈R₉, -C(=O)NR₈R₉, -NR₈SO₂R₉, -CN, -NO₂, -NR₈R₉, -NR₈C(=O)R₉, -NR₈C(=O)(CH₂)_bR₉, -O(CH₂)_bNR₈R₉, or heterocycle fused to phenyl;

 R_{\perp} is substituted alkyl;

 R_5 , R_6 and R_7 are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R_5 , R_6 and R_7 are optionally substituted with one to four substituents independently selected from R_3 ; and

R₈ and R₉ are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R₈ and R₉ taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R₈, R₉, and R₈ and R₉

taken together to form a heterocycle are optionally substituted with one to four substituents independently selected from R₃.

17. (Amended) [The] \underline{A} compound [of claim 14 wherein R_4 is substituted heterocycle] having the structure:

or a pharmaceutically acceptable salt thereof, wherein:

A is a direct bond, $-(CH_2)_a$ -, $-(CH_2)_bCH=CH(CH_2)_c$ -, or $-(CH_2)_bC=C(CH_2)_c$ -; R_1 is aryl, heteroaryl or heterocycle fused to phenyl, each being optionally substituted with one to four substituents independently selected from R_3 :

 R_2 is R_4 .

a is 1, 2, 3, 4, 5 or 6;

b and c are the same or different and at each occurrence independently selected from 0, 1, 2, 3 or 4;

d is at each occurrence 0, 1 or 2;

R₃ is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(=O)OR₈, -C(=O)R₈, -C(O)NR₈R₉,
C(=O)NR₈OR₉, -SO₂NR₈R₉, -NR₈SO₂R₉, -CN, -NO₂, -NR₈R₉,
NR₈C(=O)R₉, -NR₈C(=O)(CH₂)_bOR₉, -NR₈C(=O)(CH₂)_bR₉, -O(CH₂)_bNR₈R₉, or heterocycle fused to phenyl;

 R_{\perp} is substituted heterocycle;

R₅, R₆ and R₇ are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl,

wherein each of R₅, R₆ and R₇ are optionally substituted with one to four substituents independently selected from R₃; and

- R₈ and R₉ are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R₈ and R₉ taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R₈, R₉, and R₈ and R₉ taken together to form a heterocycle are optionally substituted with one to four substituents independently selected from R₃.
- 18. (Amended) [The] \underline{A} compound [of claim 14 wherein R_4 is 3-triazolyl, optionally substituted at its 5-position with:
- (a) a C_1 - C_4 straight or branched chain alkyl group optionally substituted with a hydroxyl, methylamino, dimethylamino or 1-pyrrolidinyl group; or
 - (b) a 2-pyrrolidinyl group] having the structure:

or a pharmaceutically acceptable salt thereof, wherein:

A is a direct bond, $-(CH_2)_a$ -, $-(CH_2)_bCH=CH(CH_2)_c$ -, or $-(CH_2)_bC=C(CH_2)_c$ -; R_1 is aryl, heteroaryl or heterocycle fused to phenyl, each being optionally substituted with one to four substituents independently selected from R_3 :

 R_2 is R_4 .

a is 1, 2, 3, 4, 5 or 6;

b and c are the same or different and at each occurrence independently selected from 0, 1, 2, 3 or 4;

d is at each occurrence 0, 1 or 2;

R₃ is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl,

hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(=O)OR₈, -C(=O)R₈, -C(O)NR₈R₉, -C(=O)NR₈OR₉, -SO₂NR₈R₉, -NR₈SO₂R₉, -CN, -NO₂, -NR₈R₉, -NR₈C(=O)(CH₂)_bOR₉, -NR₈C(=O)(CH₂)_bR₉ -O(CH₂)_bNR₈R₉, or heterocycle fused to phenyl;

 R_4 is 3-triazolyl, optionally substituted at its 5-position with:

(a) a C₁-C₄ straight or branched chain alkyl group optionally substituted a hydroxyl, methylamino, dimethylamino or 1-pyrrolidinyl group; or

(b) a 2-pyrrolidinyl group;

R₅, R₆ and R₇ are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R₅, R₆ and R₇ are optionally substituted with one to four substituents independently selected from R₃; and

R₈ and R₉ are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R₈ and R₉ taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R₈, R₉, and R₈ and R₉ taken together to form a heterocycle are optionally substituted with one to four substituents independently selected from R₃.

19. (Amended) [The] \underline{A} compound [of claim 14 wherein R_4 is tetrazole] having the structure:

or a pharmaceutically acceptable salt thereof, wherein:

A is a direct bond, $-(CH_2)_a$ -, $-(CH_2)_bCH=CH(CH_2)_c$ -, or $-(CH_2)_bC=C(CH_2)_c$ -; R_1 is aryl, heteroaryl or heterocycle fused to phenyl, each being optionally substituted with one to four substituents independently selected from R_3 :

with

 R_2 is R_4

a is 1, 2, 3, 4, 5 or 6;

b and c are the same or different and at each occurrence independently selected from 0, 1, 2, 3 or 4;

d is at each occurrence 0, 1 or 2;

R₃ is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(=O)OR₈, -C(=O)R₈, -C(O)NR₈R₉,
C(=O)NR₈OR₉, -SO₂NR₈R₉, -NR₈SO₂R₉, -CN, -NO₂, -NR₈R₉,
NR₈C(=O)R₉, -NR₈C(=O)(CH₂)_bOR₉, -NR₈C(=O)(CH₂)_bR₉,

-O(CH₂)_bNR₈R₉, or heterocycle fused to phenyl;

R_4 is tetrazole;

- R_5 , R_6 and R_7 are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R_5 , R_6 and R_7 are optionally substituted with one to four substituents independently selected from R_3 ; and
- R₈ and R₉ are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R₈ and R₉ taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R₈, R₉, and R₈ and R₉ taken together to form a heterocycle are optionally substituted with one to four substituents independently selected from R₃.
- 20. (Amended) [The] $\underline{\mathbf{A}}$ compound [of claim 14 wherein \mathbf{R}_4 is imidazole] <u>having the structure:</u>

or a pharmaceutically acceptable salt thereof, wherein:

A is a direct bond, $-(CH_2)_a$ -, $-(CH_2)_bCH=CH(CH_2)_c$ -, or $-(CH_2)_bC=C(CH_2)_c$ -; R_1 is aryl, heteroaryl or heterocycle fused to phenyl, each being optionally substituted with one to four substituents independently selected from R_3 :

 R_2 is R_4 .

a is 1, 2, 3, 4, 5 or 6;

b and c are the same or different and at each occurrence independently selected from 0, 1, 2, 3 or 4;

d is at each occurrence 0, 1 or 2;

R₃ is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(=O)OR₈, -C(=O)R₈, -C(O)NR₈R₉, -C(=O)NR₈OR₉, -SO₂NR₈R₉, -NR₈SO₂R₉, -CN, -NO₂, -NR₈R₉, -NR₈C(=O)CH₂)_bOR₉, -NR₈C(=O)CH₂)_bR₉, -O(CH₂)_bNR₈R₉, or heterocycle fused to phenyl;

R₄ is imidazole;

- R₅, R₆ and R₇ are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R₅, R₆ and R₇ are optionally substituted with one to four substituents independently selected from R₃; and
- R_8 and R_9 are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R_8 and R_9 taken together with the atom or atoms to which they are bonded

form a heterocycle, wherein each of R₈, R₉, and R₉ and R₉ taken together to form a heterocycle are optionally substituted with one to four substituents independently selected from R₃.

71. (Amended) [The] <u>A</u> compound [of claim 1, wherein R_2 is $-(CH_2)_bC(=O)NR_5R_6$, $-(CH_2)_bNR_5C(=O)R_6$, 3-triazolyl or 5-tetrazolyl, wherein b is 0] <u>having the structure</u>:

A is a direct bond, $-(CH_2)_a$ -, $-(CH_2)_bCH=CH(CH_2)_c$ -, or $-(CH_2)_bC=C(CH_2)_c$ -; R_1 is aryl, heteroaryl or heterocycle fused to phenyl, each being optionally substituted with one to four substituents independently selected from R_3 :

ikan manangan mga katilika aka katina katina tanta ili sa ara ara ara

 \underline{R}_2 is -(CH₂)_bC(=O)NR₅R₆, -(CH₂)_bNR₅C(=O)R₆, 3-triazolyl or 5-tetrazolyl, \underline{a} is 1, 2, 3, 4, 5 or 6;

b is 0;

c is at each occurrence 0, 1, 2, 3 or 4;

d is at each occurrence 0, 1 or 2;

R₃ is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(=O)OR₈, -C(=O)R₈, -C(O)NR₈R₉,
C(=O)NR₈OR₉, -SO₂NR₈R₉, -NR₈SO₂R₉, -CN, -NO₂, -NR₈R₉,
NR₈C(=O)R₉, -NR₈C(=O)(CH₂)_bOR₉, -NR₈C(=O)(CH₂)_bR₉,
O(CH₂)_bNR₈R₉, or heterocycle fused to phenyl;

- R_4 is alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, each being optionally substituted with one to four substituents independently selected from R_3 , or R_4 is halogen or hydroxy;
- R_5 , R_6 and R_7 are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R_5 , R_6 and R_7 are optionally substituted with one to four substituents independently selected from R_3 ; and
- R_8 and R_9 are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R_8 and R_9 taken together with the atom or atoms to which they are

bonded form a heterocycle, wherein each of R_8 , R_9 , and R_8 and R_9 taken together to form a heterocycle are optionally substituted with one to four substituents independently selected from R_3 .

72. (Amended) [The] \underline{A} compound [of claim 1, wherein R_2 is 3-triazolyl or 5-tetrazolyl] having the structure:

or a pharmaceutically acceptable salt thereof, wherein:

A is a direct bond, $-(CH_2)_a$ -, $-(CH_2)_bCH=CH(CH_2)_c$ -, or $-(CH_2)_bC=C(CH_2)_c$ -; R_1 is aryl, heteroaryl or heterocycle fused to phenyl, each being optionally substituted with one to four substituents independently selected from R_3 :

 R_2 is 3-triazolyl or 5-tetrazolyl, a is 1, 2, 3, 4, 5 or 6;

b and c are the same or different and at each occurrence independently selected from 0, 1, 2, 3 or 4;

d is at each occurrence 0, 1 or 2;

R₃ is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(=O)OR₈, -C(=O)R₈, -C(O)NR₈R₉, -C(=O)NR₈OR₉, -SO₂NR₈R₉, -NR₈SO₂R₉, -CN, -NO₂, -NR₈R₉, -NR₈C(=O)(CH₂)_bOR₉, -NR₈C(=O)(CH₂)_bR₉, -O(CH₂)_bNR₈R₉, or heterocycle fused to phenyl;

- R₄ is alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, each being optionally substituted with one to four substituents independently selected from R₃, or R₄ is halogen or hydroxy;
- R₅, R₆ and R₇ are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R₅, R₆ and R₇ are optionally substituted with one to four substituents independently selected from R₃; and
- R₈ and R₉ are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R₈ and R₉ taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R₈, R₉, and R₈ and R₉ taken together to form a heterocycle are optionally substituted with one to four substituents independently selected from R₃.
- 73. (Amended) [The] A compound [of claim 1, wherein:
- (a) -A-R₁ is phenyl, optionally substituted with one to four substituents independently selected from halogen, alkoxy, -NR₈C(=O)R₉, -C(=O)NR₈R₉, and -O(CH₂)_bNR₈R₉, wherein b is 2 or 3; and
- (b) R_2 is $-(CH_2)_bC(=O)NR_5R_6$, $-(CH_2)_bNR_5C(=O)R_6$, 3-triazolyl or 5-tetrazolyl, wherein b is 0.] having the structure:

$$R_2$$
 R_1

-A-R₁ is phenyl, optionally substituted with one to four substituents independently selected from halogen, alkoxy, -NR₈C(=O)R₉, -C(=O)NR₈R₉, and -O(CH₂)_bNR₈R₉ wherein b is 2 or 3;

 R_2 is -(CH₂)_bC(=O)NR₅R₆, -(CH₂)_bNR₅C(=O)R₆, 3-triazolyl or 5-tetrazolyl, wherein b is 0

a is 1, 2, 3, 4, 5 or 6;

c is at each occurrence 0, 1, 2, 3 or 4;

d is at each occurrence 0, 1 or 2;

R₃ is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(=O)OR₈, -C(=O)R₈, -C(O)NR₈R₉,
C(=O)NR₈OR₉, -SO₂NR₈R₉, -NR₈SO₂R₉, -CN, -NO₂, -NR₈R₉,
NR₈C(=O)R₉, -NR₈C(=O)(CH₂)_bOR₉, -NR₈C(=O)(CH₂)_bR₉,

-O(CH₂)_bNR₈R₉, or heterocycle fused to phenyl;

R₄ is alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, each being optionally substituted with one to four substituents independently selected from R₃, or R₄ is halogen or hydroxy;

 R_5 , R_6 and R_7 are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl,

wherein each of R_5 , R_6 and R_7 are optionally substituted with one to four substituents independently selected from R_3 ; and

R₈ and R₉ are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R₈ and R₉ taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R₈, R₉, and R₈ and R₉ taken together to form a heterocycle are optionally substituted with one to four substituents independently selected from R₃.

74. (Amended) [The] A compound [of claim 1, wherein

(a) -A-R₁ is phenyl, optionally substituted with one to four substituents independently selected from halogen, alkoxy, -NR₈C(=O)R₉, -C(=O)NR₈R₉, and -O(CH₂)_bNR₈R₉, wherein b is 2 or 3; and

(b) R₂ is 3-triazolyl or 5-tetrazolyl] having the structure:

$$R_2$$
 N
 N
 R_1

-A-R₁ is phenyl, optionally substituted with one to four substituents independently selected from halogen, alkoxy, -NR₈C(=O)R₉, -C(=O)NR₈R₉, and -O(CH₂)_bNR₈R₉;

R₂ is 3-triazolyl or 5-tetrazolyl

a is 1, 2, 3, 4, 5 or 6;

b is 2 or 3;

c is at each occurrence 0, 1, 2, 3 or 4;

d is at each occurrence 0, 1 or 2;

R₃ is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl,

hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(=O)OR₈, -C(=O)R₈, -C(O)NR₈R₉, -C(=O)NR₈OR₉, -SO₂NR₈R₉, -NR₈SO₂R₉, -CN, -NO₂, -NR₈R₉, -NR₈C(=O)R₉, -NR₈C(=O)(CH₂)_bOR₉, -NR₈C(=O)(CH₂)_bNR₈R₉, or heterocycle fused to phenyl;

- R_4 is alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, each being optionally substituted with one to four substituents independently selected from R_3 , or R_4 is halogen or hydroxy;
- R₅, R₆ and R₇ are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R₅, R₆ and R₇ are optionally substituted with one to four substituents independently selected from R₃; and
- R₈ and R₉ are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R₈ and R₉ taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R₈, R₉, and R₈ and R₉ taken together to form a heterocycle are optionally substituted with one to four substituents independently selected from R₃.

85. (Amended) [The] A compound [of claim 18 wherein R₄ is methyl, n-propyl, isopropyl, 1-hydroxyethyl, 3-hydroxypropyl, methylaminomethyl, dimethylaminomethyl, 1-(dimethylamino)ethyl, 1-pyrrolidinylmethyl or 2-pyrrolidinyl] having the structure:

or a pharmaceutically acceptable salt thereof, wherein:

A is a direct bond, $-(CH_2)_a$ -, $-(CH_2)_bCH=CH(CH_2)_c$ -, or $-(CH_2)_bC=C(CH_2)_c$ -; R_1 is aryl, heteroaryl or heterocycle fused to phenyl, each being optionally substituted with one to four substituents independently selected from R_3 :

 R_2 is R_4 .

a is 1, 2, 3, 4, 5 or 6;

b and c are the same or different and at each occurrence independently selected from 0, 1, 2, 3 or 4;

d is at each occurrence 0, 1 or 2;

R₃ is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(=O)OR₈, -C(=O)R₈, -C(O)NR₈R₉, -C(=O)NR₈OR₉, -SO₂NR₈R₉, -NR₈SO₂R₉, -CN, -NO₂, -NR₈R₉, -NR₈C(=O)CH₂)_bR₉, -NR₈C(=O)CH₂)_bR₉, or heterocycle fused to phenyl;

R₄ is 3-triazolyl, optionally substituted at its 5-position with:

(a) methyl, n-propyl, isopropyl, 1-hydroxyethyl, 3-hydroxypropyl, methylaminomethyl, dimethylaminomethyl, 1-(dimethylamino)ethyl, 1-pyrrolidinylmethyl or 2-pyrrolidinyl;

R₅, R₆ and R₇ are the same or different and at each occurrence independently hydrogen, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R₅, R₆ and R₇ are optionally substituted with one to four substituents independently selected from R₃; and

- R₈ and R₉ are the same or different and at each occurrence independently

 hydrogen, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R₈

 and R₉ taken together with the atom or atoms to which they are

 bonded form a heterocycle, wherein each of R₈, R₉, and R₈ and R₉

 taken
- together to form a heterocycle are optionally substituted with one to four substituents independently selected from R_3 .